Compound 11

79% yield; NMR d (CD_3SOCD_3) 3.10 (s, 3H), 4.02 (s, 2H), 4.20 (s, 2H), 5.83 (s, 2H), 6.88 (dd, 1H), 7.25 (m, 3H), 7.50 (d, 1H), 7.75 (s, 1H), 7.80 (d, 1H), 10.49 (brs, 1H); *M/z* (-) 528 (M^+), 526, 360, 358, 289, 253, 217.

Compound 12

78% yield; NMR d (CD_3SOCD_3) 2.00 (d, 3H), 4.03 (s, 1H), 4.20 (s, 1H), 4.23 (s, 1H), 4.40 (s, 1H), 5.82 (s, 2H), 6.88 (m, 1H), 7.25 (m, 3H), 7.52 (dd, 1H), 7.76 (m, 2H), 10.13 (brs, 1H); *M/z* (-) 492 (M^+), 490, 324, 253, 224.

Compound 14

60% yield; NMR d (CD_3SOCD_3) 2.46 (s, 3H), 3.38 (s, 2H), 3.42 (s, 2H), 5.88 (s, 2H), 6.92 (d, 1H), 7.20 (m, 2H), 7.31 (s, 1H), 7.50 (m, 2H), 7.82 (d, 1H); *M/z* (-) 462.2 (M-H^+).

Compound 15

15% yield; NMR d (CD_3SOCD_3) 3.21 (s, 2H), 3.31 (s, 3H), 3.40 (s, 2H), 3.69 (s, 2H), 5.83 (s, 2H), 6.90 (d, 2H), 6.98 (d, 2H), 7.15 (m, 6H), 7.27 (t, 1H), 7.39 (s, 1H), 7.53 (m, 2H); *M/z* (-) 554.3 (M-H^+).

Compound 13

25% yield; NMR d (CD_3SOCD_3) 3.44 (s, 2H), 3.46 (s, 2H), 3.85 (s, 2H), 5.91 (s, 2H), 6.87 (m, 1H), 7.13 - 7.36 (m, 6H), 7.40 (m, 2H), 7.53 (m, 2H), 7.78 (d, 1H), *M/z* (-) 538.2 (M-H^+), 253.2.

Example 11

***N*-Benzyl-4-(2-(pyrid-2-yl)thiophene-5-sulphonyl))aminoindole-2-carboxylic acid (Compound 1)**

To a solution of ethyl *N*-benzyl-4-aminoindole-2-carboxylate (140 mg) and pyridine (0.08 ml) in dichloromethane (10 ml) at 20°C was added 2-(pyrid-2-yl)thiophene-5-sulphonyl chloride (140 mg) and the reaction stirred for 2 hours. The mixture was washed with HCl (2M, 10 ml), the organic layer was concentrated *in vacuo* and the residue purified by

chromatography on silica using ethyl acetate as eluent, to give a yellow solid which was dissolved in ethanol (50 ml) at 60°C and treated with NaOH (2M, 4.0 ml) with stirring for 2 hours. The solvent was evaporated *in vacuo*, the residue dissolved in water (50 ml) and filtered. The clear yellow filtrate was acidified with 2N HCl and extracted with dichloromethane / methanol (9:1, 100 ml). The organic layer was dried ($MgSO_4$) and evaporated to give a pale brown solid, which was triturated with ether to give the product as an off white powder (150 mg, 63%, 2 steps); NMR d (CD_3SOCD_3) 5.87 (s, 2H), 6.9 - 7.1 (m, 9H), 7.30 (dd, 2H), 7.43 (d, 1H), 7.63 (d, 1H), 7.81 (dd, 1H), 7.96 (d, 1H), 8.50 (d, 1H); *M*/z (-) 488 (*M*-H$^+$).

Example 12

The procedure described in Example 11 above was repeated using the appropriate aminoindole and sulphonyl chloride. Thus were obtained the compounds described below.

4-(4-Acetylaminobenzenesulphonyl)amino-*N*-(3,4-dichlorobenzyl)indole-2-carboxylic acid (Compound 4)

66% yield (2 steps); NMR d (CD_3SOCD_3) 2.00 (s, 3H), 5.75 (s, 2H), 6.80 (dd, 1H), 6.92 (d, 1H), 7.12 (dd, 1H), 7.22 (m, 2H), 7.48 (d, 1H), 7.56 (s, 1H,), 7.66 (s, 4H), 10.24 (brs, 1H), 10.45 (brs, 1H); *M*/z (-) 532 (*M*-H$^+$), 530.

***N*-(3,4-Dichlorobenzyl)-4-(2-(pyrid-2-yl)thiophene-5-sulphonyl))aminoindole-2-carboxylic acid (Compound 5)**

69% yield (2 steps); NMR d (CD_3SOCD_3) 5.80 (s, 2H), 6.80 (dd, 1H), 7.0 - 7.5 (m, 8H), 7.68 (d, 1H), 7.83 (dd, 1H), 7.92 (d, 1H), 8.48 (dd, 1H); *M*/z (-) 558 (*M*-H$^+$), 556.

***N*-(3,4-Dichlorobenzyl)-4-(1-methylimidazole-4-sulphonyl)aminoindole-2-carboxylic acid (Compound 7)**

66% yield (2 steps); NMR d (CD_3SOCD_3) 3.60 (s, 3H), 5.78 (s, 2H), 6.86 (dd, 1H),7.04(1H,d), 7.15 (dd, 1H), 7.20 (d, 1H), 7.30 (d, 1H), 7.50 (d, 1H), 7.68 (m, 2H), 7.75 (s, 1H), 10.20 (brs, 1H); *M*/z (-) 479 (*M*-H$^+$), 477.

N-(3,4-Dichlorobenzyl)-4-(2-chloropyridyl-5-sulphonyl)aminoindole-2-carboxylic acid (Compound 9)

30% yield (2 steps); NMR d (CD_3SOCD_3) 5.85 (s, 2H), 6.83 (d, 1H), 6.93 (dd, 1H), 7.03 (dd, 1H), 7.15 (d, 1H), 7.20 (s, 1H), 7.26 (s, 1H), 7.46 (d, 1H), 7.60 (d, 1H), 8.05 (dd, 1H), 8.62 (d, 1H); *M*/z (-) 512 (*M*-H$^+$), 510, 508.

Example 13

Methyl N-(3,4-dichlorobenzyl)-4-(dimethylcarbamyloxy)indole-2-carboxylate (Methyl ester of Compound 10)

Dimethylcarbamyl chloride (83 mg) was added to a stirred solution of methyl *N*-(3,4-dichlorobenzyl)-4-hydroxyindole-2-carboxylate (150 mg), triethylamine (65 mg) and DMAP (5 mg) in dichloromethane. The reaction was stirred for 16 hours at room temperature under an atmosphere of nitrogen. The reaction was washed with hydrochloric acid (2M, 70 ml), saturated aqueous sodium hydrogencarbonate solution, water and saturated sodium chloride solution. Combined organic extracts were dried ($MgSO_4$), concentrated *in vacuo* and the residue purified by column chromatography using 60% ethyl acetate : *iso*-hexane as eluent to give the product as a colourless gum (132 mg, 74%); NMR d (CD_3SOCD_3) 2.94 (s, 3H), 3.12 (s, 3H), 3.81 (s, 3H), 5.82 (s, 2H), 6.91 (m, 2H), 7.21 (s, 1H), 7.27 - 7.36 (m, 2H), 7.46 (d, 1H), 7.52 (d, 1H); *M*/z (+) 421 (*M*H$^+$).

Example 14

N-(3,4-Dichlorobenzyl)-4-(dimethylcarbamyloxy)indole-2-carboxylic acid (Compound 10)

Desesterifiation of the compound of Example 13 using the method described in Example 9 above yielded Compound 10.

93% yield; NMR d (CD_3SOCD_3) 2.94 (s, 3H), 3.11 (s, 3H), 5.91 (s, 2H), 6.82 (d, 1H), 6.94 - 7.03 (m, 2H), 7.18 (t, 1H), 7.29 - 7.39 (m, 2H), 7.50 (d, 1H); *M*/z (-) 405 (*M*-H$^+$).

Example 15

Biological Assays for hMCP-1 Antagonists

The following biological test methods, data and Examples serve to illustrate the present invention.